## **CLAIMS**

I claim:

5 1. The compound of the following formula

wherein

Z comprises the residue of a solid polymer support;

- $L^1$  comprises a divalent group of the formula O-, -NH-, -O-CH<sub>2</sub>-C<sub>6</sub>H<sub>4</sub>-CH<sub>2</sub>O-;
- L<sup>2</sup> and L<sup>3</sup> comprise, independently, alkylene, alkenylene, alkynylene, or a direct single bond;

$$L_4$$
 comprises alkylene, -O-, -S-, -C(O)-, -S(O)-, -S(O)<sub>2</sub>-, , or a direct single or double bond;

- L<sup>5</sup> comprises CH<sub>2</sub>CH<sub>2</sub>- or -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-;
- E and K comprise, independently, -N-, -CH-, or -C=;
- PG comprises hydrogen or an amino protecting group;
- $R^1$  and  $R^2$  comprise, independently, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, hydrogen, halo, -O-G<sup>3</sup>, -O-G<sup>4</sup>, -G<sup>3</sup>, -G<sup>4</sup>, or -N(G<sup>3</sup>)G<sup>4</sup>;
- $R^1$  and  $R^2$  may be taken together to constitute a cycloalkyl or heterocyclyl ring, or, where  $L^4$  is a direct bond,  $R^1$  and  $R^2$  may be taken together to constitute a fused aryl or heteroaryl ring;  $G^3$  and  $G^4$  comprise, independently,

115

20

ļ. is

$$\begin{bmatrix} 0 \\ | | \\ | | | \\ | | \\ 0 \end{bmatrix}$$

$$V_{L^{10}-R^{14}}^{O}$$

$$O-L^{12}-R^{15}$$
;

where

5

<sub>1</sub>.... 10

15

L<sup>7</sup>, L<sup>8</sup>, L<sup>9</sup>, L<sup>10</sup>, L<sup>11</sup>, L<sup>12</sup> comprise, independently, alkylene, alkenylene, alkynylene, cycloalkylene, cycloalkenylene, arylene, heterocyclylene, heteroarylene, fused cycloalkylarylene, fused cycloakylheteroarylene, fused heterocyclylarylene, fused

heterocyclylheteroarylene, or a direct bond; and

R<sup>10</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup> comprise, independently, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, heteroaryl, aryl, fused cycloalkylaryl, fused cycloakylheteroaryl,

fused heterocyclylaryl, fused heterocyclylheteroaryl, -NR<sup>18</sup>R<sup>19</sup>, -OR<sup>18</sup>, -SR<sup>18</sup>, or hydrogen, where R<sup>18</sup> and R<sup>19</sup> are as defined below;

R<sup>18</sup> and R<sup>19</sup> comprise, independently, hydrogen, alkyl, alkynyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, or heteroaryl.

2. The compound of claim 1, wherein the groups L<sup>2</sup>, L<sup>3</sup>, L<sup>4</sup>, E, and K comprise a ring with 3 to 8 members.

3. The compound of claim 2, wherein PG is selected from the group consisting of t-butoxycarbonyl, 9-fluorenylmethoxycarbonyl, and benzyloxycarbonyl.

4. The compound of claim 2, wherein E and K are -CH-, represented by the formula

$$\begin{array}{c|c}
R^1 & L^4 & R^2 \\
 & L^2 & L^3 \\
 & L & Z \\
 & PG & O
\end{array}$$

20

and wherein,

L<sup>2</sup> and L<sup>3</sup> comprise, independently, -CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>-, or a direct single bond;

 $L^{\frac{5}{2}} = 0$   $L^{4} \text{ comprises } CH_{2^{+}}, -C(O)^{+}, \qquad \text{or a direct single bond;}$ 

L<sup>5</sup> comprises CH<sub>2</sub>CH<sub>2</sub>- or -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-;

R<sup>1</sup> and R<sup>2</sup> comprises, independently, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, 25 heteroaryl, hydrogen, halo, -O- $G^3$ , -O- $G^4$ , - $G^3$ , - $G^4$ , or -N( $G^3$ ) $G^4$ ;

 $R^1$  and  $R^2$  may be taken together to constitute a cycloalkyl or heterocyclyl ring;  $G^3$  and  $G^4$  comprise, independently,

$$\begin{array}{c}
0 \\
 & 10 - R^{14} \\
 & 11 - R^{13}, \text{ or}
\end{array}$$

$$O - L^{12} - R^{15}$$
;

where

5

10

15

L<sup>7</sup>, L<sup>8</sup>, L<sup>10</sup>, L<sup>11</sup>, L<sup>12</sup> comprise, independently, alkylene, alkenylene, alkynylene, cycloalkylene, cycloalkenylene, arylene, heterocyclylene, heteroarylene, fused cycloalkylarylene, fused cycloakylheteroarylene, fused heterocyclylheteroarylene, or a direct bond; and

 $R^{10}$ ,  $R^{11}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$  comprise, independently, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl, heterocyclyl, heterocyclyl, fused cycloalkylaryl, fused cycloakylheterocyclylheterocyc

R<sup>18</sup> and R<sup>19</sup> comprise, independently, hydrogen, alkyl, alkynyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, or heteroaryl.

5. The compound of claim 4 of the formula

wherein Z comprises the Wang resin.

6. The compound of claim 4 of the formula

7. The compound of claim 4 of the formula

wherein Z comprises the Wang resin.

8. The compound of claim 4 of the formula

5

wherein Z comprises the Merrifield resin.

9. The compound of claim 4 of the formula

wherein Z comprises the Wang resin.

10. The compound of claim 4 of the formula

wherein Z comprises the Wang resin.

11. The compound of claim 4 of the formula

12. The compound of claim 4 of the formula

wherein Z comprises the Merrifield resin.

13. The compound of claim 4 of the formula

5

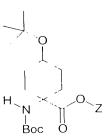
10

wherein Z comprises the Wang resin.

14. The compound of claim 4 of the formula

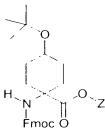
wherein Z comprises the Wang resin.

15. The compound of claim 4 of the formula



wherein Z comprises the Merrifield resin.

16. The compound of claim 4 of the formula



wherein Z comprises the Merrifield resin.

17. The compound of claim 4 of the formula

OH

H. N. O. 2

Boc O

- 5 wherein / comprises the Wang resin.
  - 18. The compound of claim 4 of the formula

H. N. J. Z. Z. Fmoc O

wherein / comprises the Wang resin.

19. The compound of claim 4 of the formula

HI ... ... ... ... ... ... ... ... ... Z

10

wherein Z comprises the Merrifield resin.

20 The compound of claim 4 of the formula

H. N. J.O. Z

wherein Z comprises the Merrifield resin.

15 21. The compound of claim 4 of the formula

wherein Z comprises the Wang resin.

22 The compound of claim 4 of the formula

- 5 wherein Z comprises the Wang resin.
  - 23 The compound of claim 4 of the formula

wherein Z comprises the Merrifield resin.

24 The compound of claim 4 of the formula

10

wherein Z comprises the Merrifield resin.

25. The compound of claim 4 of the formula

wherein / comprises the Wang resin.

15 26. The compound of claim 4 of the formula

wherein Z comprises the Wang resin.

27. The compound of claim 4 of the formula

5 wherein Z comprises the Merrifield resin.

28. The compound of claim 4 of the formula

wherein Z comprises the Merrifield resin.

29. The compound of claim 2, wherein E and K are CH-, represented by the formula

$$R^1$$
 $L^2$ 
 $L^3$ 
 $L^1$ 
 $Z$ 
 $PG$ 
 $Q$ 

and wherein,

10

L<sup>2</sup> and L<sup>3</sup> comprise, independently, -CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>-, or a direct single bond;

 $L^4$  comprises O-, -S-, -S(O)-, or -S(O)<sub>2</sub>-;

R<sup>1</sup> and R<sup>2</sup> comprise, independently, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl,

heteroaryl, hydrogen, halo, -O- $G^3$ , -O- $G^4$ , - $G^3$ , - $G^4$ , or -N( $G^3$ ) $G^4$ ;

 $R^{T}$  and  $R^{2}$  may be taken together to constitute a heterocyclyl ring;

 $G^3$  and  $G^4$  comprise, independently,

$$\begin{array}{c|c}
C & & & & \\
C & & & \\
C & & & & \\
C & & \\
C$$

where

:10

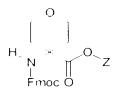
15

- 5 L<sup>7</sup>, L<sup>8</sup>, L<sup>10</sup>, L<sup>11</sup>, L<sup>12</sup> comprise, independently, alkylene, alkenylene, alkynylene, cycloalkylene, cycloalkenylene, arylene, heterocyclylene, heteroarylene, fused cycloalkylarylene, fused cycloakylheteroarylene, fused heterocyclylheteroarylene, or a direct bond; and
  - R<sup>10</sup>, R<sup>11</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup> comprise, independently, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, heterocyclyl, fused cycloalkylaryl, fused cycloakylheterocyclylaryl, fused heterocyclylheterocycly
  - $R^{18}$  and  $R^{19}$  comprise, independently, hydrogen, alkyl, alkynyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, or heteroaryl.
  - 30 The compound of claim 29 of the formula

$$\begin{array}{c|c} O \\ \hline \\ N \\ Boc \\ O \end{array} Z$$

wherein Z comprises the Wang resin.

31. The compound of claim 29 of the formula



- wherein Z comprises the Wang resin.
  - 32 The compound of claim 29 of the formula

wherein Z comprises the Merrifield resin.

33. The compound of claim 29 of the formula

5 wherein Z comprises the Merrifield resin.

34 The compound of claim 29 of the formula

wherein Z comprises the Wang resin.

35 The compound of claim 29 of the formula

10

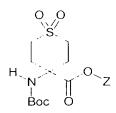
wherein Z comprises the Wang resin.

36. The compound of claim 29 of the formula

wherein Z comprises the Merrifield resin.

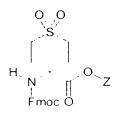
15 37. The compound of claim 29 of the formula

38 The compound of claim 29 of the formula



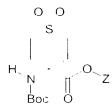
wherein Z comprises the Wang resin.

39. The compound of claim 29 of the formula



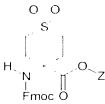
wherein Z comprises the Wang resin.

40 The compound of claim 29 of the formula



wherein Z comprises the Merrifield resin.

41 The compound of claim 29 of the formula



wherein Z comprises the Merrifield resin.

- 42. The compound of claim 2, wherein E comprises CH-, K comprises N-,  $L^3$  comprises
- CH<sub>2</sub>CH<sub>2</sub>-, L<sup>4</sup> comprises a direct single bond, represented by the formula

10

$$R^1$$
 $R^2$ 
 $R^1$ 
 $N$ 
 $L^2$ 
 $R^2$ 
 $R^2$ 

and wherein,

L<sup>2</sup> comprises -CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>-, or a direct single bond;

 $R^{\top} comprises \ alkyl, \ alkenyl, \ alkynyl, \ cycloalkyl, \ heterocyclyl, \ aryl, \ heteroaryl, \ hydrogen,$ 

5 halo,  $-O-G^3$ ,  $-G^3$ , or  $-N(G^3)G^4$ :

 $R^2$  comprises alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, hydrogen, or  $-G^5$ ;

R<sup>1</sup> and R<sup>2</sup> may be taken together to constitute a heterocyclyl ring;

G<sup>3</sup> and G<sup>4</sup> comprise, independently,

10

$$L^{10}-R^{14}$$
 $L^{11}-R^{13}$ , or

$$O = L^{12} - R^{15}$$

where

R<sup>10</sup>, R<sup>11</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup> comprise, independently, alkyl, alkenyl, alkynyl, cycloalkyl,

cycloalkenyl, heterocyclyl, heteroaryl, aryl, fused cycloalkylaryl, fused cycloakylheteroaryl, fused heterocyclylaryl, fused heterocyclylheteroaryl, -NR<sup>18</sup>R<sup>19</sup>, -OR<sup>18</sup>, -SR<sup>18</sup>, or hydrogen, where R<sup>18</sup> and R<sup>19</sup> are as defined below;

R<sup>18</sup> and R<sup>19</sup> comprise, independently, hydrogen, alkyl, alkynyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, or heteroaryl;

G<sup>5</sup> comprises

$$-L^{7}-R^{10}$$
.

$$L^8-R^{11}$$
, or

$$O - L^{12} - R^{15}$$
;

where

10

15

L<sup>7</sup>, L<sup>8</sup>, L<sup>12</sup> comprise, independently, alkylene, alkenylene, alkynylene, cycloalkylene, cycloalkenylene, arylene, heterocyclylene, heteroarylene, fused cycloalkylarylene, fused heterocyclylarylene, fused heterocyclylheteroarylene, or a direct bond; and

R<sup>10</sup>, R<sup>11</sup>, R<sup>15</sup> comprise, independently, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, heteroaryl, aryl, fused cycloalkylaryl, fused cycloakylheteroaryl, fused heterocyclylaryl, fused heterocyclylheteroaryl, -NR<sup>18</sup>R<sup>19</sup>, -OR<sup>18</sup>, -SR<sup>18</sup>, or hydrogen, where R<sup>18</sup> and R<sup>19</sup> are as defined below;

R<sup>18</sup> and R<sup>19</sup> comprise, independently, hydrogen, alkyl, alkynyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, or heteroaryl.

43. The compound of claim 42 of the formula

wherein Z comprises the Wang resin.

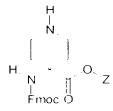
44. The compound of claim 42 of the formula

wherein Z comprises the Wang resin.

45. The compound of claim 42 of the formula

wherein Z comprises the Merrifield resin.

46. The compound of claim 42 of the formula



5

wherein Z comprises the Merrifield resin.

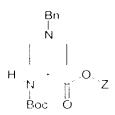
47. The compound of claim 42 of the formula

wherein Z comprises the Wang resin.

48. The compound of claim 42 of the formula

wherein Z comprises the Merrifield resin.

49. The compound of claim 42 of the formula



- wherein Z comprises the Wang resin.
  - 50. The compound of claim 42 of the formula

wherein Z comprises the Wang resin.

51. The compound of claim 42 of the formula

- 5 wherein Z comprises the Merrifield resin.
  - 52 The compound of claim 42 of the formula

wherein Z comprises the Merrifield resin.

53. The compound of claim 42 of the formula

10

wherein Z comprises the Wang resin.

54. The compound of claim 42 of the formula

wherein Z comprises the Merrifield resin.

55. The compound of claim 2, wherein E comprises—CH<sub>2</sub> K comprises—N-, L<sup>3</sup> comprises—CH<sub>2</sub>-, L<sup>4</sup> comprises -CH<sub>2</sub>-, represented by the formula

$$R^1$$
 $L^2$ 
 $R^2$ 
 $L^1$ 
 $Z$ 
 $R^2$ 

and wherein,

L<sup>2</sup> comprises -CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>-, or a direct single bond;

- 5 R<sup>1</sup> comprises alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, hydrogen, halo,  $-O-G_3$ ,  $-G_3$ , or  $-N(G_3)G_4$ ;
  - $R^2$  comprises alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, hydrogen, or  $-G^5$ ;

 $R^1$  and  $R^2$  may be taken together to constitute a heterocyclyl ring;

= 10 G<sup>3</sup> and G<sup>4</sup> comprise, independently,

$$L^{10}-R^{14}$$
 $L^{11}-R^{13}$ , or

- 15 where
  - L<sup>7</sup>, L<sup>8</sup>, L<sup>10</sup>, L<sup>11</sup>, L<sup>12</sup> comprise, independently, alkylene, alkenylene, alkynylene, cycloalkylene, cycloalkenylene, arylene, heterocyclylene, heteroarylene, fused cycloalkylarylene, fused cycloakylheteroarylene, fused heterocyclylheteroarylene, or a direct bond; and
- R<sup>10</sup>, R<sup>11</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup> comprise, independently, alkyl, alkenyl, alkynyl, cycloalkyl, eycloalkenyl, heterocyclyl, heteroaryl, aryl, fused cycloalkylaryl, fused cycloakylheteroaryl, fused heterocyclylaryl, fused heterocyclylheteroaryl, -NR<sup>18</sup>R<sup>19</sup>, -OR<sup>18</sup>, -SR<sup>18</sup>, or hydrogen, where R<sup>18</sup> and R<sup>19</sup> are as defined below:

R<sup>18</sup> and R<sup>19</sup> comprise, independently, hydrogen, alkyl, alkynyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, or heteroaryl.

G<sup>5</sup> comprises

$$L^8 - R^{11}$$
, or

$$\begin{array}{c}
0 \\
0 \\
-12 \\
-R^{15}
\end{array};$$

where

5

10

15

 $L^{7}$ ,  $L^{8}$ ,  $L^{12}$  are, independently, alkylene, alkenylene, alkynylene, cycloalkylene,

cycloalkenylene, arylene, heterocyclylene, heteroarylene, fused cycloalkylarylene, fused cycloakylheteroarylene, fused heterocyclylarylene, fused heterocyclylheteroarylene, or a direct bond; and

 $R^{10}$ ,  $R^{11}$ ,  $R^{18}$  are, independently, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, heterocyclyl, fused cycloalkylaryl, fused cycloakylheteroaryl, fused heterocyclylaryl, fused heterocyclylheteroaryl, -NR<sup>18</sup>R<sup>19</sup>, -OR<sup>18</sup>, -SR<sup>18</sup>, or hydrogen, where  $R^{18}$  and  $R^{19}$  are as defined below;

R<sup>13</sup> and R<sup>19</sup> are, independently, hydrogen, alkyl, alkynyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, or heteroaryl.

56. The compound of claim 55 of the formula

wherein Z comprises the Wang resin.

57. The compound of claim 55 of the formula

wherein Z comprises the Wang resin.

58. The compound of claim 55 of the formula

wherein Z comprises the Merrifield resin.

59. The compound of claim 55 of the formula

- 5 wherein Z comprises the Merrifield resin.
  - 60. The compound of claim 55 of the formula

wherein Z comprises the Wang resin.

61. The compound of claim 55 of the formula

10

wherein Z comprises the Merrifield resin.

62. The compound of claim 55 of the formula

wherein Z comprises the Wang resin.

15 63. The compound of claim 55 of the formula

wherein Z comprises the Wang resin.

64. The compound of claim 55 of the formula

wherein Z comprises the Merrifield resin.

65. The compound of claim 55 of the formula

5

wherein Z comprises the Merrifield resin.

66. The compound of claim 55 of the formula

wherein Z comprises the Wang resin.

10 67 The compound of claim 55 of the formula